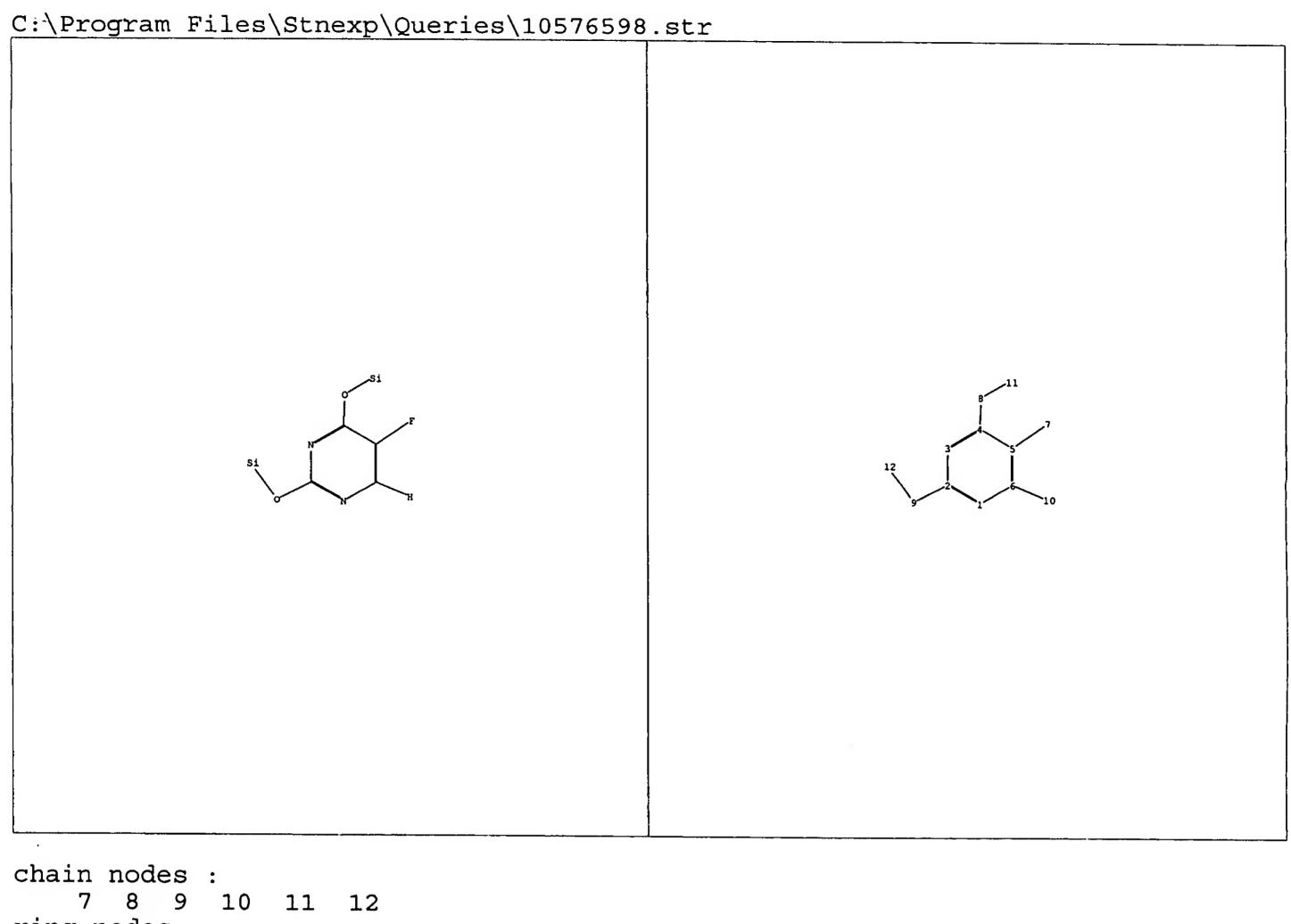
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	136	536/28.4	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:17
L2	707	536/55.3	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:34
L3	53	giorgio.inv. and Bertolini.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:36
L4	94	Marco.inv. and Frigerio.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:36
S1	3	("4340729").PN.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/09/25 15:08
S2	251	5'-deoxy-5-fluorouridine	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:17



1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS

```
ring nodes :
      2 3
            4
              5 6
chain bonds :
   2-9 4-8
             5-7 6-10 8-11
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   2-9 4-8
exact bonds :
   5-7 6-10 8-11 9-12
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems:
   containing 1 :
Match level:
```

10:CLASS 11:CLASS 12:CLASS

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                 patents
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              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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7 8 9 10 11 12
ring nodes:
1 2 3 4 5 6
chain bonds:
2-9 4-8 5-7 6-10 8-11 9-12
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds:
2-9 4-8
exact bonds:
5-7 6-10 8-11 9-12
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems:

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

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SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

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SEARCH TIME: 00.00.01

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PROJECTED ITERATIONS: 9 TO 360

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> d scan

L2 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN IN Pyrimidine, 5-fluoro-2,4-bis[(triethylsilyl)oxy]- (9CI)
MF C16 H31 F N2 O2 Si2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss ful FULL SEARCH INITIATED 02:56:59 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 147 TO ITERATE

100.0% PROCESSED

147 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

L3

6 SEA SSS FUL L1

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FULL ESTIMATED COST

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=> s 13

L4 298 L3

=> s 14 and process 2497806 PROCESS

L5 7 L4 AND PROCESS

=> s 14 and doxifluridine

293 DOXIFLURIDINE

L6 2 L4 AND DOXIFLURIDINE

=> s 15 or 16

L7 7 L5 OR L6

=> d 17 1-7 bib abs

- L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:962291 CAPLUS
- DN 143:60175
- TI Efficient Pyrimidine N-1-Alkylation via Activation of Electron Rich Olefins with Oxoammonium Salts: Synthesis of Methoxy TEMPO Substituted Pyrimidine Nucleoside Analogs
- AU Church, Kevin M.; Holloway, Liesel M.; Matley, Ryan C.; Brower, Robert J., III
- CS Department of Chemistry, University of Dayton, Dayton, OH, 45469, USA
- Nucleosides, Nucleotides & Nucleic Acids (2004), 23(11), 1723-1738 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- OS CASREACT 143:60175
- AB The use of oxoammonium salts in a formal 1,2-addition process to olefins giving nucleoside analogs as products was described. Specifically, oxoammonium salts can be added to a solution of olefin and silylated heterocycle to give Methoxy-TEMPO substituted nucleoside analogs after hydrolytic workup and chromatog. purification
- RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:664103 CAPLUS

DN 137:169742

TI Step-wise and one-pot processes for the preparation of a uridine derivative, namely 2',3'-O-alkylidene-5-fluorouridine, from 5-fluorouracil

IN Cotticelli, Giovanni; De Meglio, Giuseppe; Monciardini, Simone; Ordanini, Giancarlo

PA Pro.Bio.Sint. Srl, Italy

SO Ital., 17 pp. CODEN: ITXXBY

DT Patent

LA Italian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PRAI	IT 1302006 IT 1998-MI1852	B1	20000720 19980806	IT 1998-MI1852	19980806
00	CNODENCE 137.160740		m 100 160010		

OS CASREACT 137:169742; MARPAT 137:169742

GI

Title compds. I [R2, R3 = H, C1-4 alkyl; or R2R3 = (CH2)4 or (CH2)5] are prepared by an improved method. In particular, I are prepared in 4 steps, which may be carried out sep. or in a single pot. Specifically, (1) 5-fluorouracil (II) is treated with a silylating agent until it is completely solubilized; (2) the resultant silylated product III [R = H or trialkylsilyl, especially SiMe3] is treated with a β -D-ribose tetraester IV [R1 = alkanoyl, benzoyl, or benzoyl substituted with Me, OMe, NO2, F, Br, or C1] in the presence of a condensing agent; (3) the obtained 5-fluorouridine triester V is hydrolyzed; and finally (4) the resulting 5-fluorouridine (VI) is treated with a ketone R2COR3 in an acidic medium. For example, in a one-pot preparation of I [R2 = R3 = Me] from II, using C1SiMe3 and HMDS in step 1, 1 β -D-tetraacetylribose in step 2, aqueous NH3

in MeOH in step 3, and acetone containing H2SO4 in step 4, an overall yield of approx. 70% was obtained, with a product purity of 99.7% by HPLC. Examples of the individual steps for the case of R2 = R3 = Me are also given. I are known intermediates for the cytostatic agent doxifluridine.

- L7 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:402634 CAPLUS
- DN 138:187722
- TI Improved process for the synthesis of Doxifluridine
- AU Dong, Hui; Qian, Hong
- CS Anhui Keyu Research Institute of Drugs, Hefei, 230001, Peop. Rep. China
- SO Zhongguo Yiyao Gongye Zazhi (2002), 33(3), 108-110 CODEN: ZYGZEA; ISSN: 1001-8255
- PB Zhongguo Yiyao Gongye Zazhi Bianjibu
- DT Journal
- LA Chinese
- OS CASREACT 138:187722
- AB Doxifluidine was synthesized from 5-fluorouracil via tri-Me silylation, condensation, saponification, ketal formation, iodation, hydrogenolysis, and hydrolysis, giving the product with overall yield 54.6%.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN L7 AN 1996:501735 CAPLUS 125:248308 DN Stereocontrolled De Novo Synthesis of β -2'-Deoxyribonucleosides TIPark, Minnie; Rizzo, Carmelo J. AU Department of Chemistry, Vanderbilt University, Nashville, TN, 37235, USA CS SO Journal of Organic Chemistry (1996), 61(18), 6092-6093 CODEN: JOCEAH; ISSN: 0022-3263 PB American Chemical Society Journal DT English LA

OS CASREACT 125:248308
GI

AB A stereocontrolled, de novo preparation of β -2'-deoxyribonucleosides, e.g. I (B = uracil, thymine), has been achieved. The process required just four steps from com. available 1,3,5-tribenzoyl- α -D-ribose and proceeded in high overall yield. The key synthetic strategy was the use of a m-trifluoromethylbenzoyl group at the 2-position of ribose to direct the glycosidation reaction and also serve as a deoxygenation precursor. The five 2'-deoxynucleosides that were synthesized were 2'-deoxyuridine, thymidine, 5-fluoro-2'-deoxyuridine, 5-trifluoromethyl-2'-deoxyuridine (trifluiridine) and 2'-deoxycytidine.

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN AN 1996:501735 CAPLUS DN 125:248308

TI Stereocontrolled De Novo Synthesis of β -2'-Deoxyribonucleosides

AU Park, Minnie; Rizzo, Carmelo J.

CS Department of Chemistry, Vanderbilt University, Nashville, TN, 37235, USA

SO Journal of Organic Chemistry (1996), 61(18), 6092-6093

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society DT Journal

LA English

OS CASREACT 125:248308

GI

AB A stereocontrolled, de novo preparation of β -2'-deoxyribonucleosides, e.g. I (B = uracil, thymine), has been achieved. The process required just four steps from com. available 1,3,5-tribenzoyl- α -D-ribose and proceeded in high overall yield. The key synthetic strategy was the use of a m-trifluoromethylbenzoyl group at the 2-position of ribose to direct the glycosidation reaction and also serve as a deoxygenation precursor. The five 2'-deoxynucleosides that were synthesized were 2'-deoxyuridine, thymidine, 5-fluoro-2'-deoxyuridine, 5-trifluoromethyl-2'-deoxyuridine (trifluiridine) and 2'-deoxycytidine.

L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1992:41980 CAPLUS

DN 116:41980

TI Process for the manufacture of 2-deoxy-D-threo-pentofuranosides, intermediates for their manufacture and their use

IN Saischek, Gerald; Fuchs, Franz; Dax, Karl; Billiani, Gertrude

PA Chemische Produkte Saischek G.m.b.H. (CHEMPROSA), Austria

SO Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

ran.c	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 450585 EP 450585	A2 A3	19911009 19930310	EP 1991-105231	19910403
	R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	SE
	AT 9000791	A	19911015	AT 1990-791	19900404
	AT 394564	В	19920511		
	AT 9001410	A	19920115	AT 1990-1410	19900703
	AT 395426	В	19921228		
	CA 2039403	A1	19911005	CA 1991-2039403	19910328
	FI 9101603	A	19911005	FI 1991-1603	19910403
	HU 57225	A2	19911128	HU 1991-1086	19910403
	JP 05097885	A	19930420	JP 1991-154206	19910404
PRAI	AT 1990-791	A	19900404		
	AT 1990-1410	A	19900703		
OS GI	CASREACT 116:41980;	MARPAT	116:41980		

Title compds. I (R = alkyl; R1 = protective group, R2 = H) were prepared from the erythro isomers. Thus, erythro-pentofuranoside II (R1, R2 = H) was pivaloylated and mesylated to give II (R1 = Me3CCO, R2 = MeSO2) which was treated with BzONa to give I (R = Me, R1 = Bz, R2 = Me3CCO). The latter compound was debenzoylated, mesylated, and treated with Bu4NF to give fluoride III which was deacylated and deglycosidated to give 2,3-dideoxy-3-fluoro-D-erythro-pentose.

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1991:457020 CAPLUS

DN 115:57020

TI A new development of mechanochemical solid-state polymerization of vinyl monomers: prodrug syntheses and its detailed mechanistic study

AU Kuzuya, Masayuki; Kondo, Sinichi; Noguchi, Akihiro

CS Lab. Pharm. Phys. Chem., Gifu Pharm. Univ., Gifu, 502, Japan

SO Macromolecules (1991), 24(14), 4047-53

CODEN: MAMOBX; ISSN: 0024-9297

DT Journal

LA English

GI

AcNH
$$\longrightarrow$$
 O2CCMe = CH2

$$O = \begin{array}{c} O \\ NCH_2O_2CCMe = CH_2 \end{array}$$

III

AB The first exptl. example of mechanochem. polymerization of specially synthesized

II

solid-state monomers, methacryloyl derivs. of bioactive compds., I-III, is described. It has been shown, however, that there exists a monomer selectivity for efficiency of such reactions, although all the monomers studied undergo conventional solution polymns. using radical initiators. The detailed mechanistic implications on the reaction of I, as a representative example, have been clarified based on ESR kinetics on its comparison with that of the corresponding mechanoradical formation of I polymer, the progressive changes in mol. weight distribution including its heterogeneity, and kinetics of the polymer conversion. It has been shown that the mechanochem. polymerization involves a mechanoradical-initiated polymerization

as a dominant process, and if one appropriate designs methacryloyl vinyl monomers along the line of the structural criteria derived from the quantum chemical considerations, one can make a variety of solid-state monomers undergo the mechanochem. polymns. essentially quant. Thus, the present result provides a novel and simple methodol. for polymeric prodrug syntheses of low heterogeneity through a totally dry process.

- L7 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1988:406905 CAPLUS
- DN 109:6905
- TI New process for the preparation of purine and pyrimidine nucleosides
- IN Noyori, Ryoji; Hayashi, Masahiko
- PA Sankyo Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 62267294	A	19871119	JP 1986-112135	19860516
PRAI	JP 1986-112135		19860516		

- OS CASREACT 109:6905
- GI For diagram(s), see printed CA Issue.
- The title nucleosides (I; R = pyrimidine or purine base residue; R1, R2 = protecting group; l, m, n = 0-3 wherein l + m + n = 2, 3) (II) of medicinal interest were prepared by glycosidation of 1-fluoro sugar derivs. I (R = F) with purines or pyrimidines silylated with 1-3 Me3Si groups. SiF4 in MeCN was added at 0° to a solution of 2,3,5-tri-0-benzyl- α -D-ribofuranosyl fluoride and bis(trimethylsilyl)uracil in MeCN and the mixture was stirred 2h at 0° to give 85% a 1:5.2 mixture of 1-(2',3',5'-tri-0-benzyl- α and β -ribofuranosyl)uracil.

=> d 15 1-2 bib abs

- L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:962291 CAPLUS
- DN 143:60175
- TI Efficient Pyrimidine N-1-Alkylation via Activation of Electron Rich Olefins with Oxoammonium Salts: Synthesis of Methoxy TEMPO Substituted Pyrimidine Nucleoside Analogs
- AU Church, Kevin M.; Holloway, Liesel M.; Matley, Ryan C.; Brower, Robert J., III
- CS Department of Chemistry, University of Dayton, Dayton, OH, 45469, USA
- SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(11), 1723-1738 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- OS CASREACT 143:60175
- AB The use of oxoammonium salts in a formal 1,2-addition process to olefins giving nucleoside analogs as products was described. Specifically, oxoammonium salts can be added to a solution of olefin and silylated heterocycle to give Methoxy-TEMPO substituted nucleoside analogs after hydrolytic workup and chromatog. purification
- RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:664103 CAPLUS

DN 137:169742

TI Step-wise and one-pot processes for the preparation of a uridine derivative, namely 2',3'-O-alkylidene-5-fluorouridine, from 5-fluorouracil

IN Cotticelli, Giovanni; De Meglio, Giuseppe; Monciardini, Simone; Ordanini, Giancarlo

PA Pro.Bio.Sint. Srl, Italy

SO Ital., 17 pp. CODEN: ITXXBY

DT Patent

LA Italian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PRAI OS GI	IT 1302006 IT 1998-MI1852 CASREACT 137:169742	B1 ; MARPA	20000720 19980806 T 137:169742	IT 1998-MI1852	19980806

HO ON
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Title compds. I [R2, R3 = H, C1-4 alkyl; or R2R3 = (CH2)4 or (CH2)5] are prepared by an improved method. In particular, I are prepared in 4 steps, which may be carried out sep. or in a single pot. Specifically, (1) 5-fluorouracil (II) is treated with a silylating agent until it is completely solubilized; (2) the resultant silylated product III [R = H or trialkylsilyl, especially SiMe3] is treated with a β -D-ribose tetraester IV [R1 = alkanoyl, benzoyl, or benzoyl substituted with Me, OMe, NO2, F, Br, or C1] in the presence of a condensing agent; (3) the obtained 5-fluorouridine triester V is hydrolyzed; and finally (4) the resulting 5-fluorouridine (VI) is treated with a ketone R2COR3 in an acidic medium. For example, in a one-pot preparation of I [R2 = R3 = Me] from II, using C1SiMe3 and HMDS in step 1, 1β -D-tetraacetylribose in step 2, aqueous NH3

in MeOH in step 3, and acetone containing H2SO4 in step 4, an overall yield of approx. 70% was obtained, with a product purity of 99.7% by HPLC. Examples of the individual steps for the case of R2 = R3 = Me are also given. I are known intermediates for the cytostatic agent doxifluridine.

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